Quin-AD(OMe)-FMK M.Wt:389



Quin-VAD(OMe)-FMK M.Wt:488; C24H19N4O6F

FIGURE 2

FIGURE 2A

C	а	<	n	а	c	۵	q
$\mathbf{}$	а	3	ν	а	3	c	J

inh conc	log of con	% inhib	Q-(C=O)-VD(OMe)-CH ₂ -ASA
0.005uM 0.01uM .025uM 05uM 1uM 0.5uM 1uM 2.5uM 5uM 10uM 25uM 50uM	-2.301 -2 -1.602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.398 1.6989	0 0 0 0 16.2 21.8 47.4 62 82.4 = 92.6	100 80 60 40 20 3 -2 -1 20 0 1 2 log of conc. in uM

FIGURE 9

Caspase 8

Section 1997 of the section of the s

inh conc	log of con	% inhib		Q-(C=O)-VD(OMe)-CH ₂ -ASA
0.005uM	-2.301	0		
0.01uM	-2	0		
.025uM	-1.602	0		120
.05uM	-1.301	0		100
.1uM	-1	0	_	
0.5uM	-0.301	4.7	<u>.ō</u>	80
1uM	0	5.5	inhibition	
2.5uM	0.3979	21.1	Ē	40
5uM	0.6989	45.5		
10uM	1	73.6	%	20 -
25uM	1.398	96.8		
50uM	1.6989	= 99.8		2 1 20 0 1 2
			•	log of conc. in uM

Caspase 1

inh conc	log of con	% inhib
.025uM .05uM .1uM 0.5uM 1uM 2.5uM 5uM 10uM 25uM 50uM	-1.602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.398 1.6989	0 0 0 18.2 34.8 69.7 100 100

$Q\text{-}(C\text{=}O)\text{-}VD(OMe)\text{-}CH_2\text{-}ASA$

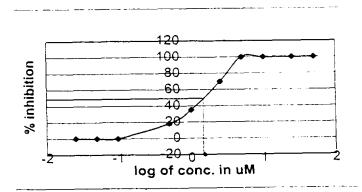
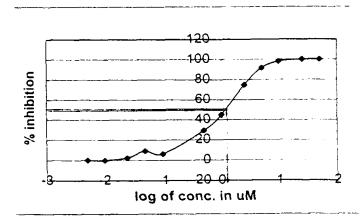


FIGURE 11

Caspase 3

inn conc	log of con	% inhib
0.005uM	-2.301	0
0.01uM	-2	0
.025uM	-1.602	2.3
.05uM	-1.301	9.1
.1uM	-1	6.4
0.5uM	-0.301	29.3
1uM	0	45
2.5uM	0.3979	74.8
5uM	0.6989	91.5
10uM	1	98.2
25uM	1.398	100
50uM	1.6989	⁼ 100

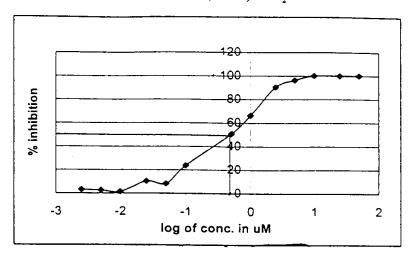
Q-(C=O)-VD(OMe)-CH₂-ASA



Caspase 1

inh conc	log of con	% inhib
.0025uM	-2.602	3.14
.005uM	-2.301	2.6
.01uM	-2	1.4
.025uM	-1.602	10.3
.05uM	-1.301	8.3
.1uM	-1	23.7
0.5uM	-0.301	50.9
1uM	0	66.29
2.5uM	0.3979	90.3
5uM	0.6989	96.3
10uM	1	100
25uM	1.3979	100
50uM	1.6979	100

$Indole-(C=O)-VD(OMe)-CH_2-OPh$

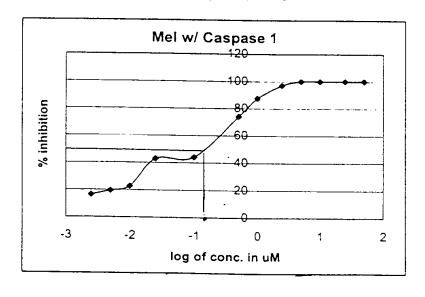


Caspase 1

inh conc	log of con	% inhib
.0025uM	-2.602	16.3
.005uM	-2.301	19.4
.01uM	-2	22.6
.025uM	-1.602	42.86
.1uM	-1	44
0.5uM	-0.301	74
1uM	0	87.4
2.5uM	0.3979	97.1
5uM	0.6989	100
10uM	1	100
25uM	1.3979	100
50uM	1.6979	100

FIGURE 13

Melatonin-VD(OMe)-CH2-OPh



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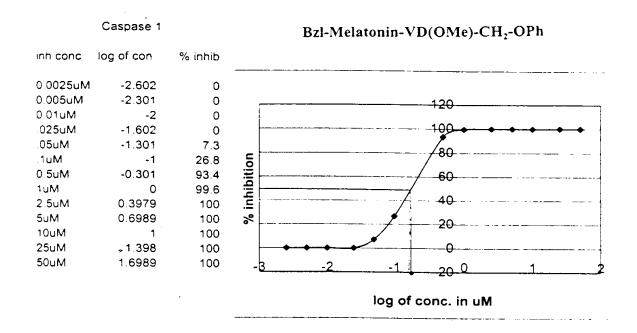
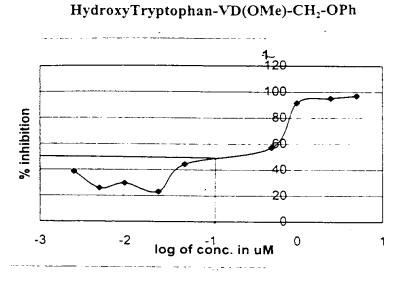


FIGURE 15

Caspase 1 inh conc log of con % inhib 0.0025uM -2.602 38.4 0.005uM -2.301 25.7 0.01uM -2 29.6 .025uM -1.602 23 05uM -1.301 44.3 0.5uM -0.301 57.2 1uM 0 91.4 2.5uM 0.3979 95 0.6989 5uM 96.9



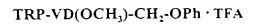
Caspase 1

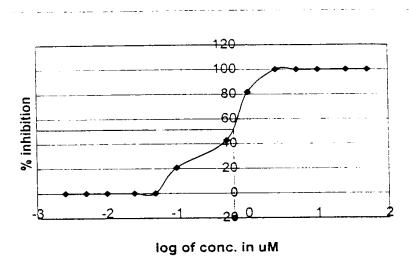
inn conc	log or con	% INNID
0.0025uM	-2.602	0
0.005uM	-2.301	. 0
0.01uM	-2	0
.025uM	-1.602	0
.05uM	-1.301	0
.1uM	-1	20.7
0.5uM	-0.301	42.7
1uM	0	81.7
2.5uM	0.3979	100
5uM	0.6989	100
10uM	1	100
25uM	1.398	100

1.398 1.6989

100

50uM





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FIGURE 17A

Caspase 9

inh coric	iog of con	% inhib
.025uM	-1.602	33.6
05uM	-1.301	43.9
.1uM	-1	58.7
0.56M	-0.301	90.7
16M	0	94.7
2.5uM	0.3979	100
5uM	0.6989	100
10uM	1	100
25uM 50uM	1.3979 1.6979	100

$Q-(C=O)-L-D-(OMe)-CH_2-F$ (the FMK)

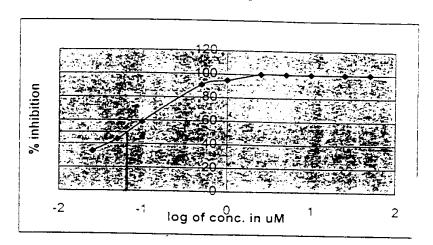


FIGURE 17B

Caspase 9

inn sons	log of con	°o inhib	$Q-(C=O)-L-D-(OMe)-CH_2-F$ (the FMK)	
0250M 10M 0.50M 10M 10M 2.50M 50M 100M 250M 500M	-1 602 -1 301 -1 -0 301 0 0.3979 0 6989 1 1.3979 1.6979	25 7 37 3 58 9 88 9 94 9 96 1 100 100 100	120 100 80 60 100 100 100 100 100 100 100	

FIGURE 18A

Caspase 9

· a cond	log of con	% inhib
325uM	-1.602	47.3
05uM	-1.301	64.4
±uM	-1	81.2
0.5uM	-0.301	97.8
15M	0	99.5
2 5cM	0.3979	100
5uM	0 6989	100
100M	1	100
25uM	1.3979	100
50uM -	1.6979	100

$Q-(C=O)-V-D-(OCH_3)-CH_2-F$ (the FMK)

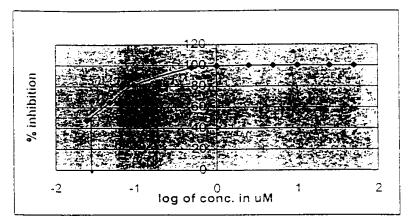
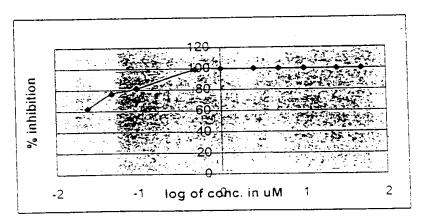


FIGURE 18B

Caspase 9

inh conc	log of con	% innib
.025uM .05uM .1uM .0.5uM .1uM .2.5uM .5uM .10uM .25uM	-1 502 -1.301 -1 -0.301 0 0 3979 0 6989 1 1 3979	62.2 76.3 81.3 99.1 100 100 100
50uM	1 6979	100

$Q-(C=O)-V-D-(OCH_3)-CH_2-F$ (the FMK)



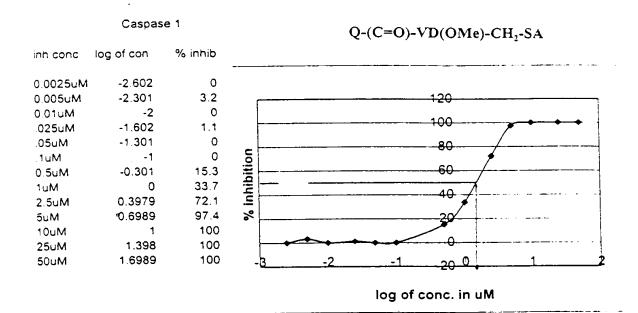


FIGURE 20

Caspase 3

inh conc	log of con	% inhib	Q-(C=O)-VD(OMe)-CH ₂ -SA
0 005uM	-2.301	0	
0.01uM	-2	0	
.025uM	-1.602	0.57	120
.05uM	-1.301	2.8	
.1uM	-1	18.3	
0 5uM	-0.301	32.4	5 80
1uM	0	54.7	80 60 40 20
2.5uM	0.3979	87.8	Ē 40/ ⁷
5uM	0.6989	97.6	. <u>s</u>
10uM	1	99.7	20
25uM	1 398	100	
50uM	1.6989	100	3 2 1 20 0 1 2
			log of conc. in uM

 $Q-(C=O)-L-D-CH_2-OPh$

Caspase 1	•	
inn cond	log of con	% innio
025uM	-1 602	19
05LM	-1 301	22
1 LM	-1	19
0.5uM	-0.301	46.7
1051	0	69 5
2.5uM	0 3979	92.7
5u1.1	0 6989	98 5
10411		87.3

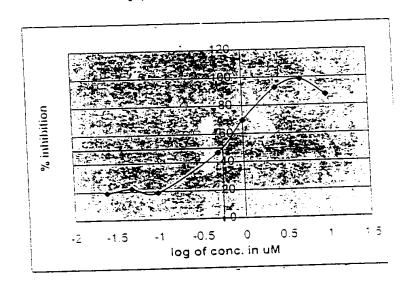
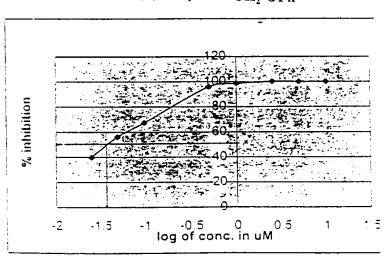


FIGURE 22

$Q-(C=O)-V-D-CH_2-OPh$

Caspase	;	
מחכם לוחו	log of con	a _a innib
025111	. 602	39 8
05uN1	-1 301	55.98
ាចស	-*	57.2
0.5uM	-0.301	95.8
1 uM	ō	98.5
2.5uM	0 3979	100
5uM	0 6939	100
10uM	•	100



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Non esterase treated Inhibitor D with Caspase 3

 $Q-(C=O)-L-D-(OMe)-CH_2-F$

nh conc	log of can	% inhib
025uM 05uM 1uM 05uM 1uM 0.5uM 1uM 2.5uM 10uM	-1,602 -1,301 -1 -0,301 -0,3919 0,6989	37.8 52 73 100 100 100 100
25uM 50uM	1,3979 1,6979	100 100

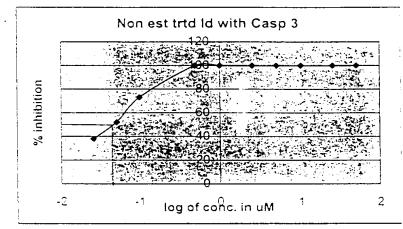
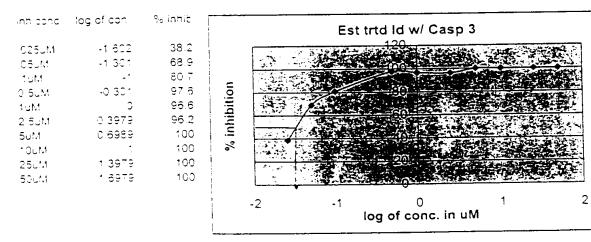


FIGURE 25B

Esterase treated Innibitor D with Caspase 3

 $Q-(C=O)-L-D-(OMe)-CH_2-F$



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Esterase treated Inhibitor C with Caspase 1

inh dong	log of con	es inhib
025LM	-1 602	40.1
05uM1	-1 301	54.9
1_M	-1	73.2
0.5uM	-0.301	81.7
tuM	0	100
2 5uM	0 3979	100
5uM	0.6989	100
10uM	1	100
25uM	1.3979	100
50uM	1.6979	100

 $Q-(C=O)-V-D-(OMe)-CH_2-F$

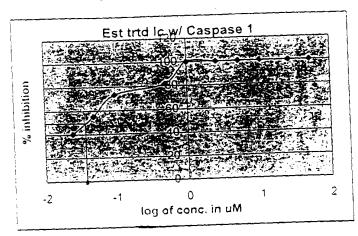
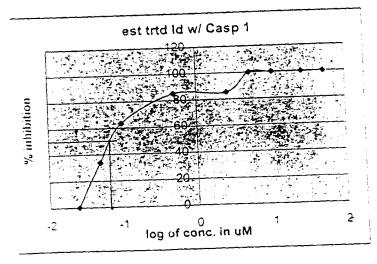


FIGURE 24

Esterase treated Inhibitor D with Caso 1

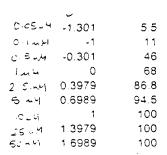
בהכב הה	.og of con	dורת: נ ^{יי}
025UN 05UM 1UM 0 5UM 2 5UM 5UM 10UM	-1.602 -1.301 -1.9.301 0.3979 0.6989 1.1.3979	0 33 8 63.4 85 2 85 2 100 100
50,111	1 6979	33

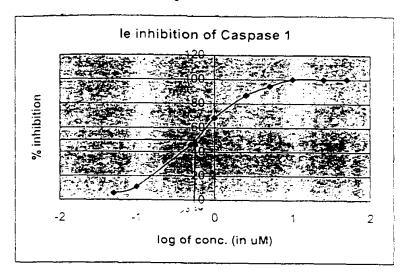
$Q-(C=O)-L-D-(OMe)-CH_2-F$



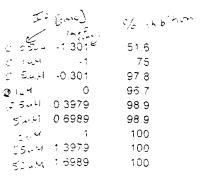
14/16 FIGURE 26

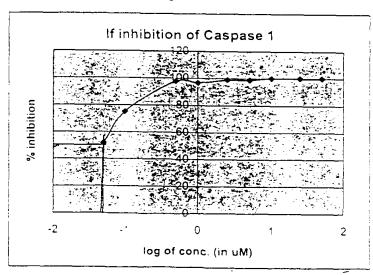
Q-LD-OPh





Q-VD-OPh





Caspase 3 w/ IE -

Q-(C=O)-LD-C	CH ₂ -O-Ph
--------------	-----------------------

log of con	% inhib
-1.602 -1.301 -1 -0.301 0 0.3979 0.6989	31.85 47.1 59.2 96.2 100 100 100
1.699	100
	-1.602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.3979

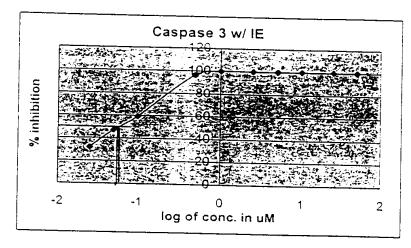


FIGURE 28

19.4
10.0
. 2
• -
7
-
-
ź
£
3.7
5.7 445
5.7 -125
5.7 445

29			0 0 1 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	L-Tyrosine (Tyr)	N. — 2 = 2 = 2 = 2 = 2 = 2 = 2 = 2 = 2 = 2	L-Histidine (His)
FIGURE	1195 — CH2 1196 — CH2 119 — CH2	L·Proline (Pro)	0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0		11N	-
	H ₃ N = C + H		H-0-2-04 H-0-2-H CH2 H3C-5-CH2	l - Methionine [Meth]	$\begin{array}{c c} RJ & c & 0 \\ \hline & & & \\ & & & $	L-Lysina (Lys)
Acips	CH2 CH3	L · Isoleucine (Ileu)	143 - S - CH2	stine S-Crs)		
IMPORTANT AMINO ACIDS	H ₃ N - C - H CH ₂ CH ₃	l-teucine (Leu)	$\begin{array}{cccccccccccccccccccccccccccccccccccc$	L-Cysline (Cys-S-S-Cys)	2HN-72	L-Glutomine (Glu-Mf2)
IM	0 - 0 - 0 - 0 - 0 - 0 - 0 - 0 - 0 - 0 -	L·Voline (Yal)	HS - 2H3 H - 3 - NfH 0 0 - 3	L·Cysteine (Cys·SH)	ο ο ο ο ο ο ο ο ο ο ο ο ο ο ο ο ο ο ο	L-Glutomic ocid (Glu)
	00 - 3 - Neth	L-Alanine (Ala)	00-1-1 H0-1-H	1 Threamns (Thre)	0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	L-Asparagine (Asp ^{-NH} 2)
	60 / 0 / 1 0 / 0 / 1 0 / 0 / 1	Glycine (GIY)	HO - CH3 HO - CH3 H - 3 - NGH BO - 3 - 17	L-Serine (Ser)	(B) (C) (B) (B) (C) (C) (C) (C) (C) (C) (C) (C) (C) (C	L-Aspartic acid (Asp)